Jean

```
=>
Uploading ho ho.str

L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 10:55:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     12 TO ITERATE

100.0% PROCESSED      12 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           33 TO      447
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:55:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    206 TO ITERATE

100.0% PROCESSED     206 ITERATIONS                               1 ANSWERS
SEARCH TIME: 00.00.01

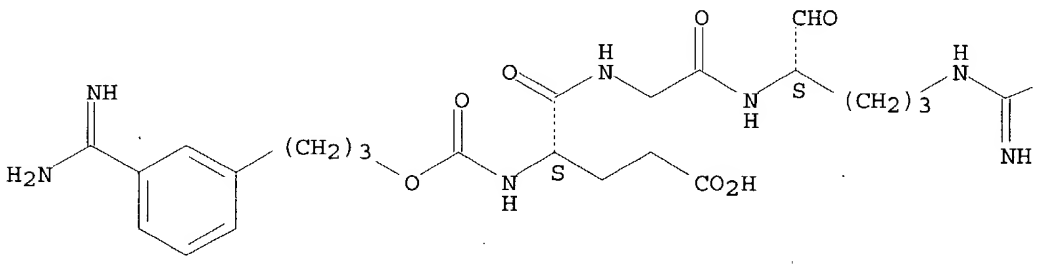
L3            1 SEA SSS FUL L1

=> d

L3   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2002 ACS
RN   403669-25-0  REGISTRY
CN   Glycinamide, N-[[3-[3-(aminoiminomethyl)phenyl]propoxy]carbonyl]-L-.alpha.-
     glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI)  (CA
     INDEX NAME)
FS   STEREOSEARCH
MF   C24 H36 N8 O7
SR   CA
LC   STN Files:   CA, CAPLUS

Absolute stereochemistry.
```





**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

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=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   141.86     142.07

FILE 'CAPLUS' ENTERED AT 10:56:15 ON 25 JUL 2002
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FILE COVERS 1907 - 25 Jul 2002  VOL 137 ISS 4
FILE LAST UPDATED: 24 Jul 2002  (20020724/ED)

  This file contains CAS Registry Numbers for easy and accurate
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CAS roles have been modified effective December 16, 2001. Please
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the CAS Roles thesaurus (/RL field) in this file.

=> s l3 full
L4            1 L3

=> d ibib abs hitstr

L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2002:185072  CAPLUS
DOCUMENT NUMBER:          136:232549
TITLE:                    Preparation of peptides as inhibitors of serine
                          protease activity of matriptase or MTSP1
INVENTOR(S):              Duncan, David F.; Madison, Edwin L.; Semple, Joseph
                          Edward; Coombs, Gary Samuel; Reiner, John Eugene; Ong,
                          Edgar O.; Araldi, Gian Luca
PATENT ASSIGNEE(S):       Corvas International, Inc., USA
SOURCE:                   PCT Int. Appl., 82 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
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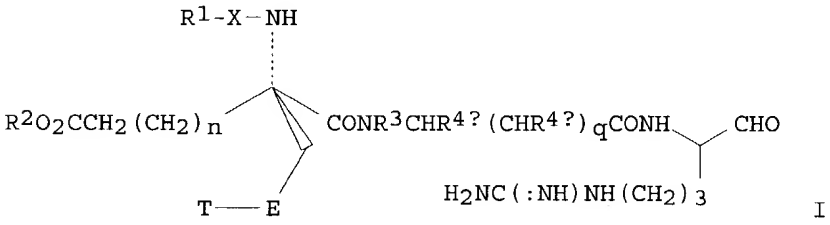
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002020475 | A2 | 20020314 | WO 2001-US28137 | 20010907 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-657986 A 20000908
OTHER SOURCE(S): MARPAT 136:232549
GI




I

AB The invention provides compds. I [X = CO, CO2, CONH, SO2, SO2NH or a direct link; R1 = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO2, SO2NH or a direct link, etc.; R2 = H, alkyl; n = 0-3; R3 = H, Me; R4a, R4b = H, alkyl; q = 0-2; when q = 0, R3 and R4a form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered arom. ring having 0-2 ring heteroatoms; T is H, OH, CH2OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl deriv.] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prepd. and showed IC50 < 100 nM for inhibition of matriptase activity.

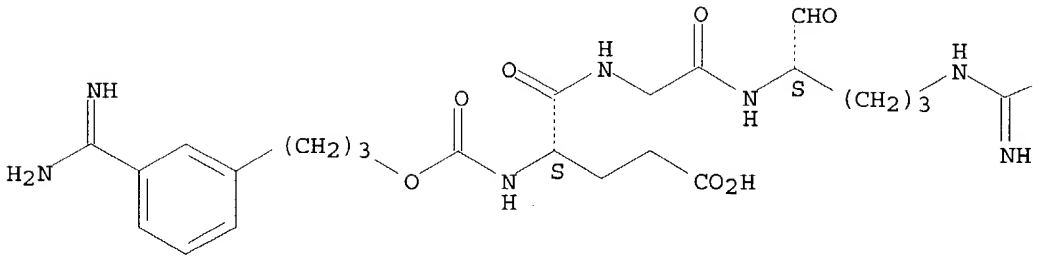
IT **403669-25-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as inhibitors of serine protease activity of matriptase or MTSP1)

RN 403669-25-0 CAPLUS

CN Glycinamide, N-[[3-[3-(aminoiminomethyl)phenyl]propoxy]carbonyl]-L-.alpha.-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

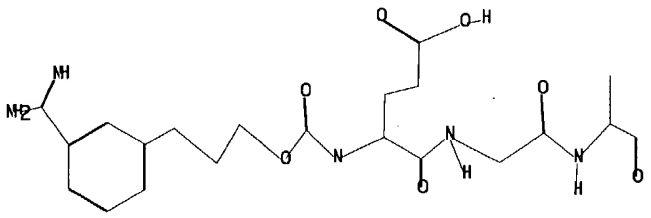
Absolute stereochemistry.

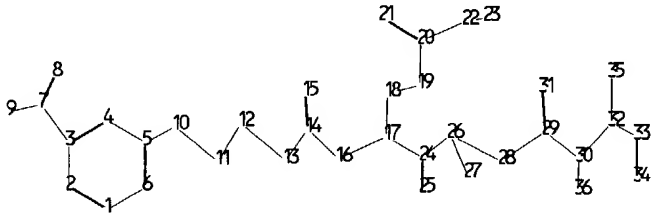
PAGE 1-A



PAGE 1-B

C:\STNEXP4\QUERIES\ho ho.str





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31 32 33 34 35 36
ring nodes :
1 2 3 4 5 6
chain bonds :
3-7 5-10 7-8 7-9 10-11 11-12 12-13 13-14 14-15 14-16 16-17 17-18 17-24 18-19
19-20 20-21 20-22 22-23 24-25 24-26 26-27 26-28 28-29 29-30 29-31 30-32 30-36
32-33 32-35 33-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
7-8 7-9 12-13 13-14 14-15 14-16 16-17 24-25 24-26 26-28 29-30 29-31 30-32
33-34
exact bonds :
3-7 5-10 10-11 11-12 17-18 17-24 18-19 19-20 22-23 26-27 28-29 30-36 32-33
32-35
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 20-21 20-22

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS

```
FILE 'BEILSTEIN' ENTERED AT 10:57:59 ON 25 JUL 2002
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FILE RELOADED ON APRIL 8, 2002

FILE COVERS 1779 TO 2001.
*** FILE CONTAINS 8,128,462 SUBSTANCES ***

>>> For the revised summary sheet please see:
    http://info.cas.org/ONLINE/DBSS/beilsteinss.html <<<

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>>> FOR SEARCHING PREPARATIONS SEE HELP PRE  <<<

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**********************************************************************

=> s l1 full
FULL SEARCH INITIATED 10:58:09 FILE 'BEILSTEIN'
FULL SCREEN SEARCH COMPLETED -      0 TO ITERATE

100.0% PROCESSED       0 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.03

L5             0 SEA SSS FUL L1

=>
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